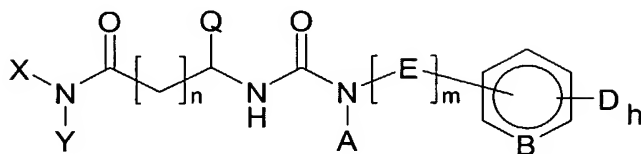


CLAIMS

1. A compound of formula (I)



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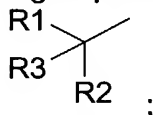
(I)

or a pharmaceutically acceptable salt or ester thereof, wherein

X is

- 1) H,
2) aryl,
3) heteroaryl or
4) a group of formula

10



wherein aryl and heteroaryl can be unsubstituted or substituted with 1 to 4 substituents selected from **R^a**, as defined hereinafter;

15

Y is

- 1) H,
2) (C₁-C₆)alkyl,
3) (C₃-C₇)cycloalkyl or
4) (C₃-C₇)cycloalkyl-(C₁-C₃)alkyl;

20

Q is

- 1) aryl,
2) aryl-(C₁-C₆)alkyl,
3) heteroaryl or
4) heteroaryl-(C₁-C₆)alkyl;

25

wherein aryl and heteroaryl can be optionally substituted with 1 to 3 substituents selected from **R^a**; and alkyl can be optionally substituted with Cy; Cy is cycloalkyl, heterocyclyl, aryl or heteroaryl;

A is

- 1) (C₁-C₆)alkyl,
2) (C₂-C₆)alkenyl,
3) (C₂-C₆)alkynyl,
4) Cy or

30

5) Cy-(C₁-C₆)alkyl;

wherein alkyl and cycloalkyl can be optionally substituted with 1 to 2 substituents selected from R^c, as defined hereinafter; and Cy can be optionally substituted with 1 to 3 substituents selected from R^a;

5 **B** is

- 1) N or
- 2) C(D);

D is independently

- 1) H,
- 10 2) halogen,
- 3) (C₁-C₆)alkyl,
- 4) (C₂-C₆)alkenyl,
- 5) (C₂-C₆)alkynyl,
- 6) -NR^bR^b,
- 15 7) -NO₂ or
- 8) -CN;

wherein R^b is to be defined hereinafter;

E is

- 1) CH₂,
- 20 2) CHR^b or
- 3) CR^bR^c;

R1 is

- 1) H,
- 2) (C₁-C₆)alkyl,
- 25 3) (C₂-C₆)alkenyl,
- 4) (C₂-C₆)alkynyl,
- 5) Cy,
- 6) Cy-(C₁-C₃)alkyl,
- 7) -(CH₂)_kC(O)NR^bR^b or
- 30 8) (C₁-C₆)alkoxy(C₁-C₆)alkyl;

wherein Cy can be unsubstituted or substituted with a group selected from R^a and alkyl, alkenyl, alkynyl and alkoxy can be unsubstituted or substituted with a group selected from R^c;

35 **R2** is

- 1) H,
- 2) (C₁-C₉)alkyl,
- 3) (C₂-C₉)alkenyl,
- 4) (C₂-C₉)alkynyl,
- 40 5) Cy or

6) Cy-(C₁-C₃)alkyl;

wherein Cy can be unsubstituted or substituted with a group selected from R^a and alkyl, alkenyl and alkynyl can be unsubstituted or substituted with a group selected from R^c;

5 **R³ is**

- 1) H or
- 2) (C₁-C₆)alkyl;

R^a is independently

- 10 1) H,
- 2) halogen,
- 3) (C₁-C₆)alkyl,
- 4) (C₂-C₆)alkenyl,
- 5) (C₂-C₆)alkynyl,
- 15 6) Cy,
- 7) -OR^b,
- 8) -SR^b,
- 9) -NR^bR^b,
- 10) -NR^bC(N)NR^bR^b,
- 20 11) -C(O)R^b,
- 12) -C(O)NR^bR^b,
- 13) -NC(O)R^b,
- 14) -SO₂NR^bR^b,
- 15) -NO₂,
- 25 16) -CN,
- 17) -CF₃ or
- 18) amino-(C₁-C₆)alkyl;

R^b is independently

- 30 1) H,
- 2) (C₁-C₆)alkyl,
- 3) (C₂-C₆)alkenyl,
- 4) (C₂-C₆)alkynyl,
- 5) (C₃-C₇)cycloalkyl,
- 35 6) aryl,
- 7) heteroaryl,

or in the context of D, R¹, R^a and R^c, R^b and R^b together with the atom to which they are attached can also form a 5 to 6 membered ring containing 1 to 2 heteroatoms selected from N, O and S;

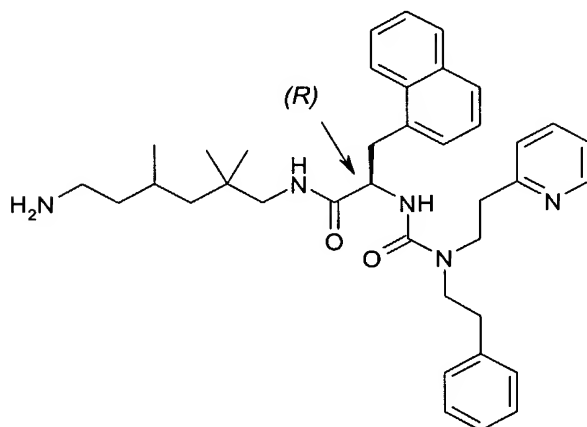
40 **R^c is independently**

- 1) H,
- 2) halogen,

- 5
- 3) Cy,
 - 4) $-\text{CN}$,
 - 5) $-\text{OR}^b$,
 - 6) $-\text{SR}^b$,
 - 7) $-\text{NR}^b\text{R}^b$ or
 - 8) $-\text{NR}^b\text{C}(\text{N})\text{NR}^b\text{R}^b$;

10

k is an integer 0 or 1;
h is an integer from 0 to 4;
n is an integer 0 or 1;
m is an integer from 0 to 3;
 with the proviso that the compound of formula I is not the compound



and provided that A in formula (I) is not 2-hydroxyethyl.

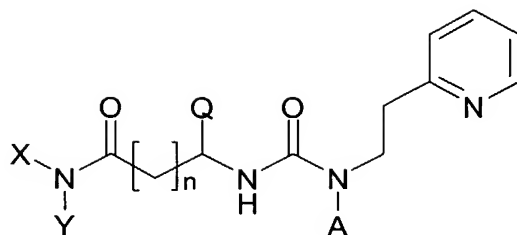
15

2. A compound according to claim 1, wherein
 R² is

- 20
- 1) H,
 - 2) $(\text{C}_1\text{-C}_6)\text{alkyl}$,
 - 3) $(\text{C}_2\text{-C}_6)\text{alkenyl}$,
 - 4) $(\text{C}_2\text{-C}_6)\text{alkynyl}$,
 - 5) Cy or
 - 6) $\text{Cy}-(\text{C}_1\text{-C}_3)\text{alkyl}$;

wherein Cy can be unsubstituted or substituted with a group selected from **R^a**
 25 and alkyl, alkenyl and alkynyl can be unsubstituted or substituted with a group
 selected from **R^c**.

3. A compound according to claim 1 or 2, wherein the compound is
 a compound of formula IA

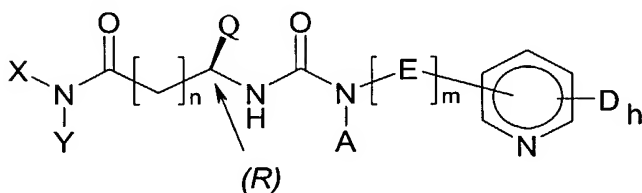


IA

or a pharmaceutically acceptable salt or ester thereof,

wherein A, Q, X, Y and n are as defined in claim 1 or claim 2.

- 5 4. A compound according to claim 1 or 2, wherein the compound is a compound of formula IB



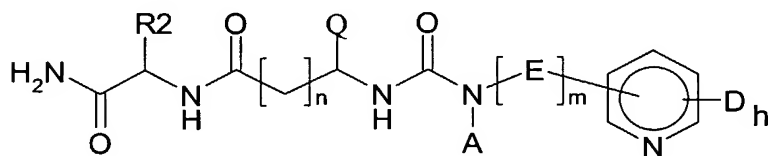
IB

or a pharmaceutically acceptable salt or ester thereof,

- 10 wherein A, D, E, X, Y, h, m and n are as defined in claim 1 or claim 2;

Q is aryl-(C₁)alkyl or heteroaryl-(C₁)alkyl, where aryl or heteroaryl are optionally substituted with 1 to 2 substituents selected from R^a.

- 15 5. A compound according to claim 1 or 2, wherein the compound is a compound of formula IC

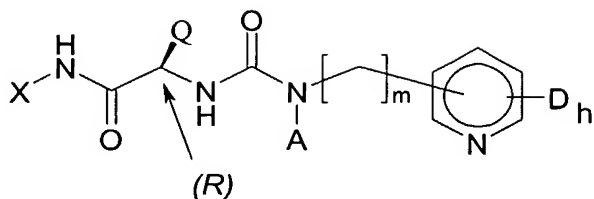


IC

or a pharmaceutically acceptable salt or ester thereof,

wherein R₂, A, D, E, Q, h, m and n are as defined in claim 1.

- 20 6. A compound according to claim 1 or 2, wherein the compound is a compound of formula ID



ID

or a pharmaceutically acceptable salt or ester thereof,

wherein A, X, D and h are as defined in claim 1 or claim 2;

- 5 Q is aryl-(C₁)alkyl or heteroaryl-(C₁)alkyl, where aryl or heteroaryl are optionally substituted with 1 to 2 substituents selected from R^a; and
m is an integer 1 or 2.

7. A compound according to claim 1 or 2, wherein the compound of
formula I is any of the compounds no 1 to 15 or 23 to 62 as described in the
10 Examples.

8. A compound according to claim 1 or 2, wherein the compound of
formula I is (2*R*, 2'*R*)-5-Amino-2-{3'-naphthalen-1-yl-2'-[3-phenethyl-3-(2-
pyridin-2-ylethyl)ureido]propionylamino}pentanamide, (2*R*)-*N*-(4-Aminobutyl)-3-
(1*H*-indol-3-yl)-2-[3-(3-phenylpropyl)-3-(2-pyridin-2-
15 ylethyl)ureido]propionamide, (2*S*, 2'*R*)-2-{2-[3,3-Bis(2-pyridin-2-ylethyl)ureido]-
3-naphthalen-1-ylpropionylamino}-4-methylsulfanylbutyramide, (2*S*, 2'*R*)-4-
Methylsulfanyl-2-{3'-naphthalen-1-yl-2'-[3-phenethyl-3-(2-pyridin-2-
ylethyl)ureido]propionylamino}butyramide, (2*S*, 2'*R*)-3-Methyl-2-{3'-naphthalen-
1-yl-2'-[3-phenethyl-3-(2-pyridin-2-ylethyl)ureido]propionylamino}butyramide,
20 (2*R*)-*N*-cyclohexyl-3-naphthalen-1-yl-2-[3-phenethyl-3-(2-pyridin-2-
ylethyl)ureido]propionamide, (2*S*, 2'*R*)-2-{3'-naphthalen-1-yl-2'-[3-phenethyl-3-
(2-pyridin-2-ylethyl)ureido]propionylamino}-3-phenylpropionamide or (2*S*, 2'*R*)-
2-{2-[3,3-bis(2-pyridin-2-ylethyl)ureido]-3'-naphthalen-1-ylpropionylamino}-3-
methylbutyramide.

- 25 9. A compound according to any of the claims 1 to 8 where the
compound is an SSTR1 selective agonist.

10. A compound according to any of the claims 1 to 8 where the
compound is an SSTR1 selective antagonist.

11. A pharmaceutical composition comprising as active ingredient at least one compound according to any of the claims 1 to 10 and at least one pharmaceutically acceptable carrier.

12. Use of a compound according to any of the claims 1 to 10 for
5 the manufacture of a pharmaceutical preparation for the treatment and/or prevention of a disease or condition responding to targeting with a selective SSTR1 compound.

13. The use according to claim 12, wherein the said disease or condition is a central nervous system disease or disorder, a disease or
10 condition benefiting from the use of anti-proliferative agents, pathological condition in the retina and/or iris-ciliary body, diabetic complication, cancer or excessive proliferation of normal or malignant tissue.

14. The use according to claim 12, wherein the said disease or condition is anxiety, depression or schizophrenia.

15 15. The use according to claim 12, wherein the said disease or condition is prostatic cancer, benign prostatic hyperplasia, pancreatic cancer, thyroid cancer, brain tumor or gastro-intestinal tumor.

16. The use according to claim 12, wherein the said disease or condition is diabetic retinopathy, diabetic nephropathy or diabetic neuropathy.

20 17. The use according to claim 12, wherein the said disease or condition is angiogenesis, vascular restenosis, smooth muscle proliferation, endothelial cell proliferation, new blood vessel sprouting or neovascularization.

18. Use of a compound of according to any of the claims 1-10 in combination with a detectable label, for targeting tissues bearing SSTR1s for
25 tissue imaging.

19. Use of a compound of according to any of the claims 1-10 as a carrier for another therapeutically active compound to be targeted to tissues bearing SSTR1s.